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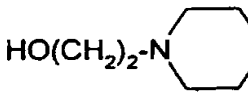
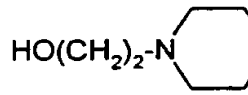
(54) Title: SOMATOSTATIN AGONISTS

$$X\text{-}A^1\text{-cyclo}(D\text{-}Cys\text{-}A^3\text{-}A^4\text{-}Lys\text{-}A^6\text{-}A^7)\text{-}A^8\text{-}Y, \quad (I)$$



(a)



(b)

(57) Abstract: The present invention is directed to cyclic peptides of formula (I): X-A[1]-cyclo(D-Cys-A[3]-A[4]-Lys-A[6]-A[7])-A[8]-Y, or a pharmaceutically acceptable salt thereof, wherein X is H, formula (a) or formula (b); A[1] and A[3] are each independently the D- or L-isomer of an amino acid selected from the group consisting of Phe, Tyr, Tyr(I), Trp, 3-Pal, 4-Pal, Cpa and Nal; A[4] is L-Trp, D-Trp, L-β-methyl-Trp or D-β-methyl-Trp; A[6] is -NH-(CHR[1])$_n$-CO-, where n is 2, 3, or 4; A[7] is L- or D-Cys; A[8] is the D- or L-isomer of an amino acid selected from the group consisting of Phe, Tyr, Tyr(I), Trp, Nal, Cpa, Val Leu, Ile, Ser and Thr; Y is NR[2]R[3] where R[2] and R[3] are each independently H or (C_1-C_5)alkyl; R[1] is selected from the group consisting H, (C_1-C_4)alkyl and -CH_2-aryl; wherein said aryl is an optionally substituted moiety selected from the group consisting of phenyl, 1-naphthyl, and 2-naphthyl, wherein said optionally substituted moiety is optionally substituted with one or more substituents each independently selected from the group consisting of (C_{1-6})alkyl, (C_{2-6})alkenyl, (C_{2-6})alkynyl, aryl, aryl(C_{1-6})alkyl, (C_{1-6})alkoxy, -N(R[4]R[5]), -COOH, -CON(R[4]R[5]), halo, -OH, -CN, and -NO_2; R[4] and R[5] each is, independently for each occurrence, H or (C_{1-3})alkyl; where the Cys of A[2] is bonded to the Cys of A[7] by a di-sulfide bond formed from the thiol groups of each Cys; pharmaceutical compositions comprising said peptides and the use thereof as a somatostatin receptor subtypes agonist. The peptides of the present invention bind selectively to the somatostatin subtype receptor type-5 and elicit an agonist effect from the somatostatin subtype receptors that the peptides bind to.

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